ABSTRACT OF THE DISCLOSURE

The present invention relates to a method for identifying compounds capable of affecting the activity of G-protein coupled receptors (GPCRs), i.e. identifying agonists or antagonists of said receptor type. Such compounds are later useful e.g. as drugs or as leads in drug development. More specifically, if agonists are desired, the method comprises contacting a test compound with cell membrane from a GPCR expressing cell line, a kinase, such as G-protein coupled receptor kinase (GRK), and arrestin. If the test compound is an agonist it will allow said arrestin to bind to activated and phosphorylated GPCR. The above mentioned mixture is then contacted with carrier material capable of binding GPCRs, and signals are detected as an indication that the test compound is capable of acting as an agonist of GPCR. If on the other hand receptor antagonists are desired, the present method is modified to be a competition assay, wherein known agonists are also added to the incubation mixture and compounds are tested as to their capability to displace agonists bound to the receptor, and thereby dissociate arrestin from the receptor. In both of the above described methods, the kinase and the arrestin may be replaced by a phosphorylation independent mutant of the arrestin, omitting the use of a kinase.